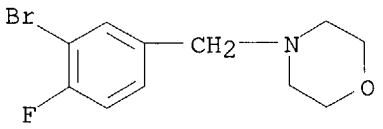
(1)

5 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281652-25-3 REGISTRY
CN Morpholine, 4-[(3-bromo-4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4-(3-Bromo-4-fluorobenzyl)morpholine
FS 3D CONCORD
MF C11 H13 Br F N O
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935580 CAPLUS
DOCUMENT NUMBER: 136:53690
TITLE: Preparation and antiviral activity of 1-aryl-4-oxo-1,4-dihydro-3-quinolinecarboxamides
INVENTOR(S): Schnute, Mark E.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098275	A2	20011227	WO 2001-US16481	20010605
WO 2001098275	A3	20020704		
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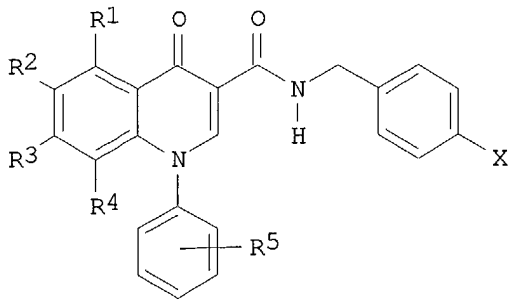
US 6653307	B2	20031125		
EP 1292575	A2	20030319	EP 2001-945974	20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011729	A	20030729	BR 2001-11729	20010605

PRIORITY APPLN. INFO.:
US 2000-212202P P 20000616
US 2001-272136P P 20010228
WO 2001-US16481 W 20010605

OTHER SOURCE(S): MARPAT 136:53690
GRAPHIC IMAGE:

Same Parent



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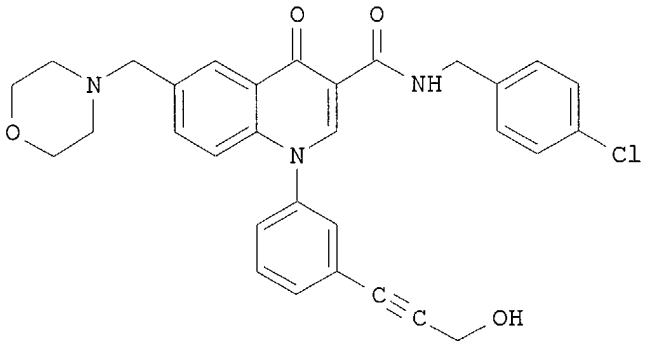

II

ABSTRACT:
The title compds. of formula I [R1 = H, halo, or C1-C4 alkyl optionally substituted by one to three halo; R2 = H, halo, aryl, etc.; R3 = H, halo, OH, alkoxy, aryl oxy, etc.; R4 = H, halo, OH, alkoxy, aryloxy, etc.; R5 = H, halo, OH, alkoxy, aryloxy, etc.; X = Cl, F, Br, CN, or NO2] or their pharmaceutically acceptable salts, useful as antiviral agents, in particular, as agent against viruses of the herpes family were prepd.. Thus, reacting N-(4-chlorobenzyl)-1-(3-iodophenyl)-6-(4-morpholinylmethyl)-4-oxo-1,4-dihydro-3-quinolinecarboxamide with propargyl alc. in the presence of Pd(PPh3)2Cl2 and CuI afforded II in 19% which showed IC50 of 0.57 .mu.M against human cytomegalovirus (HCMV) polymerase.

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:798202 CAPLUS
DOCUMENT NUMBER: 135:331435
TITLE: Preparation of 4-hydroxycinnoline-3-carboxamides as antiviral agents
INVENTOR(S): Vaillancourt, Valerie A.; Larsen, Scott D.; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081318	A1	20011101	WO 2001-US5807	20010315

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002042397	A1	20020411	US 2001-808902		20010315
US 6458788	B2	20021001			
EP 1265872	A1	20021218	EP 2001-916182		20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003531195	T2	20031021	JP 2001-578412		20010315
PRIORITY APPLN. INFO.:			US 2000-190976P	P	20000321
			WO 2001-US5807	W	20010315

OTHER SOURCE(S): MARPAT 135:331435
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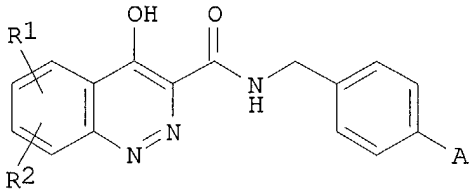

I

ABSTRACT:
The title compds. [I; A = Cl, Be, CN, NO2, F; R1 = aryl, CN, heteroaryl, etc.; R2 = H, halo, aryl, etc.], useful for treatment or prevention of herpes viruses, were prepd. E.g., a multi-step synthesis of I [A = Cl; R1 = 6-CH2OH; R2 = H] which showed 51% inhibition of the HCMV polymerase at 20 .mu.M, was given.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:713324 CAPLUS
DOCUMENT NUMBER: 135:257250
TITLE: Preparation of 4-oxo-1,4-dihydro-3-cinnolinecarboxamides as antiviral agents
INVENTOR(S): Vaillancourt, Valerie A.; Larsen, Scott D.; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070706	A2	20010927	WO 2001-US5811	20010315
WO 2001070706	A3	20020510		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002045619	A1	20020418	US 2001-808836		20010315
US 6624160	B2	20030923			
EP 1265873	A2	20021218	EP 2001-920138		20010315
EP 1265873	B1	20031015			
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BR 2001009487	A	20030610	BR 2001-9487		20010315
JP 2003528087	T2	20030924	JP 2001-568916		20010315
NO 2002004502	A	20021120	NO 2002-4502		20020920
PRIORITY APPLN. INFO.:			US 2000-191291P	P	20000321
			WO 2001-US5811	W	20010315

OTHER SOURCE(S): MARPAT 135:257250
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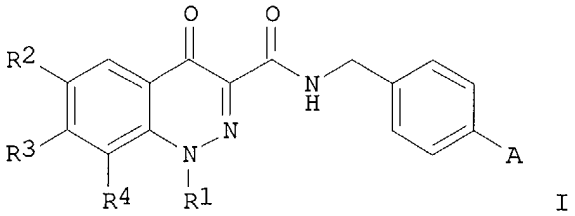

I

ABSTRACT:
The title compds. I [wherein A = Cl, Br, CN, NO2, or F; R1 = R5 or SO2R9; R2, R3, and R4 = independently H, halo, aryl, SOmR6, COR6, CO2R9, CN, heterocyclyl(oxy), OR10, NR7R8, SR10, heterocyclylthio, NHCOR12, NHSO2R12, or (un)substituted alkyl; or R3 together with R2 or R4 form an (un)substituted (hetero)cyclic ring; R5 = (CH2CH2O)nR10 or (un)substituted (cyclo)alkyl; R6 = alkyl, NR7R8, aryl, or heterocyclyl; R7 and R8 = H, aryl, or (un)substituted (cyclo)alkyl; or R7 and R8 together with the N to which they are attached form a heterocyclic ring; R9 = aryl, heterocyclyl, cycloalkyl, Me, or (un)substituted alkyl; R10 = H, Me, or (hydroxy)alkyl; R12 = H, heterocyclyl, aryl, cycloalkyl, Me, or (amino)alkyl; m = 0-2; n = 2-4; or a pharmaceutically acceptable salt thereof] were prepd. as antiviral agents, which are particularly effective against varicella zoster virus (VZV), the Epstein-Barr virus, the herpes simplex virus (HSV), the human herpes virus type 8 (HHV-8), and cytomegalovirus (CMV). For example, tosyl azide was added to Et 3-(2-fluoro-5-iodophenyl)-3-oxopropanoate and the diazo compd. cyclized with PBu3 to give Et 4-hydroxy-6-iodo-3-cinnolinecarboxylate. Amidation with 4-chlorobenzylamine (85%), N-methylation (39%), and alkylation with propargyl alc. in the presence of Pd(PPh3)2Cl2 yielded the 4-oxo-1,4-dihydro-3-cinnolinecarboxamide I (A = Cl, R1 = Me, R2 = C.tplbond.CCH2OH, R3 and R4 = H) (II). The latter inhibited human CMV, HSV, and VZV polymerases with IC50 values of 2.7 .mu.M, 1.7 .mu.M, and 1.1 .mu.M, resp.

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:475644 CAPLUS
DOCUMENT NUMBER: 133:89443
TITLE: Quinolinecarboxamides as antiviral agents, especially against viruses of the herpes family

INVENTOR(S): Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Vaillancourt, Valerie A.; Schnute, Mark E.; Tucker, John Alan
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040561	A1	20000713	WO 1999-US27960	19991222
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US 6248739	B1	20010619	US 1999-466712	19991217
EP 1140850	A1	20011010	EP 1999-967145	19991222
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JP 2002534416	T2	20021015	JP 2000-592270	19991222
AU 760207	B2	20030508	AU 2000-23486	19991222
NZ 512824	A	20030926	NZ 1999-512824	19991222
ZA 2001004711	A	20020610	ZA 2001-4711	20010608
NO 2001003383	A	20010907	NO 2001-3383	20010706

PRIORITY APPLN. INFO.: US 1999-115301P P 19990108
US 1999-140610P P 19990623
WO 1999-US27960 W 19991222
OTHER SOURCE(S): MARPAT 133:89443
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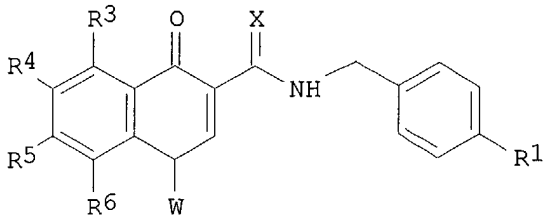

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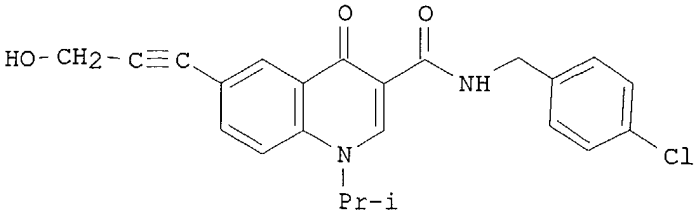

II

ABSTRACT:
The invention provides quinolinecarboxamides I (X = O, S; W = R2, etc., where R1-R6 = a wide variety of defined groups, with 125 examples), e.g., hydroxypropynyl deriv. II, and their pharmaceutically acceptable salts which are useful as antiviral agents, in particular, as agents against viruses of the herpes family. Activities of the compds. against HCMV, HSV, and VZV polymerase are presented. Pharmaceutical compns. comprising compds. I are claimed (no examples).

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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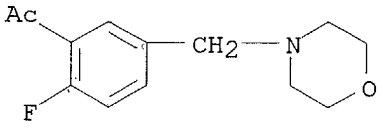
L2 ANSWER 25 OF 35 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281652-26-4 REGISTRY
CN Ethanone, 1-[2-fluoro-5-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-[2-Fluoro-5-(4-morpholinylmethyl)phenyl]ethanone
FS 3D CONCORD
MF C13 H16 F N O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L5 4 L4

=> DIS L5 1- IBIB IABS
YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):Y

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935580 CAPLUS
DOCUMENT NUMBER: 136:53690
TITLE: Preparation and antiviral activity of 1-aryl-4-oxo-1,4-dihydro-3-quinolinecarboxamides
INVENTOR(S): Schnute, Mark E.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Parent

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098275	A2	20011227	WO 2001-US16481	20010605
WO 2001098275	A3	20020704		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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US 6653307	B2	20031125		
EP 1292575	A2	20030319	EP 2001-945974	20010605
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BR 2001011729	A	20030729	BR 2001-11729	20010605

PRIORITY APPLN. INFO.:
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US 2001-272136P P 20010228
WO 2001-US16481 W 20010605

OTHER SOURCE(S): MARPAT 136:53690
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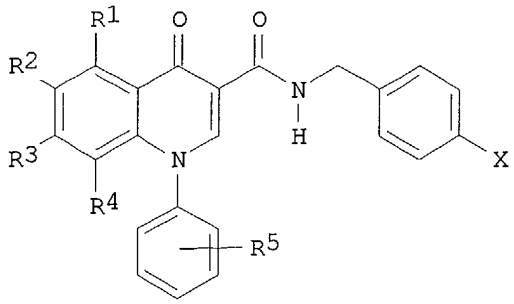

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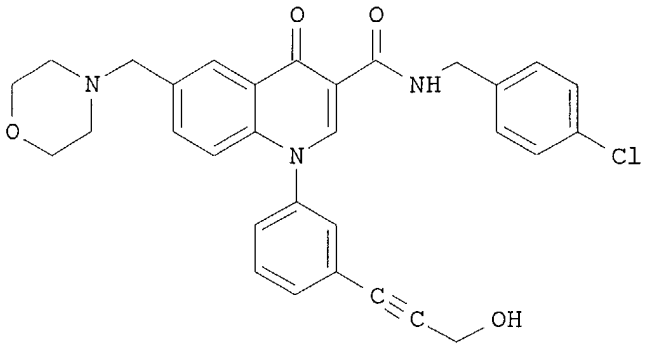

II

ABSTRACT:
The title compds. of formula I [R1 = H, halo, or C1-C4 alkyl optionally substituted by one to three halo; R2 = H, halo, aryl, etc.; R3 = H, halo, OH, alkoxy, aryl oxy, etc.; R4 = H, halo, OH, alkoxy, aryloxy, etc.; R5 = H, halo, OH, alkoxy, aryloxy, etc.; X = Cl, F, Br, CN, or NO2] or their pharmaceutically acceptable salts, useful as antiviral agents, in particular, as agent against viruses of the herpes family were prepd.. Thus, reacting N-(4-chlorobenzyl)-1-(3-iodophenyl)-6-(4-morpholinylmethyl)-4-oxo-1,4-dihydro-3-quinolinecarboxamide with propargyl alc. in the presence of Pd(PPh3)2Cl2 and CuI afforded II in 19% which showed IC50 of 0.57 .mu.M against human cytomegalovirus (HCMV) polymerase.

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DOCUMENT NUMBER: 135:331435
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INVENTOR(S): Vaillancourt, Valerie A.; Larsen, Scott D.; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA
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WO 2001081318	A1	20011101	WO 2001-US5807	20010315

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LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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US 6458788	B2	20021001		
EP 1265872	A1	20021218	EP 2001-916182	20010315

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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JP 2003531195	T2	20031021	JP 2001-578412	20010315

PRIORITY APPLN. INFO.: US 2000-190976P P 20000321
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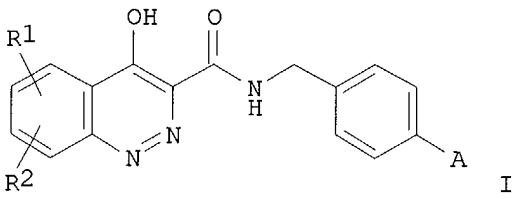


I

ABSTRACT:
The title compds. [I; A = Cl, Be, CN, NO2, F; R1 = aryl, CN, heteroaryl, etc.; R2 = H, halo, aryl, etc.], useful for treatment or prevention of herpes viruses, were prepd. E.g., a multi-step synthesis of I [A = Cl; R1 = 6-CH2OH; R2 = H] which showed 51% inhibition of the HCMV polymerase at 20 .mu.M, was given.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:713324 CAPLUS
DOCUMENT NUMBER: 135:257250
TITLE: Preparation of 4-oxo-1,4-dihydro-3-cinnolinecarboxamides as antiviral agents
INVENTOR(S): Vaillancourt, Valerie A.; Larsen, Scott D.; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

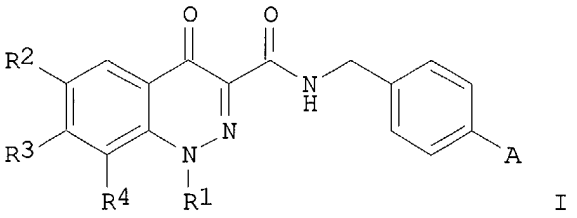
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070706	A2	20010927	WO 2001-US5811	20010315
WO 2001070706	A3	20020510		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,

VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002045619	A1	20020418	US 2001-808836		20010315
US 6624160	B2	20030923			
EP 1265873	A2	20021218	EP 2001-920138		20010315
EP 1265873	B1	20031015			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001009487	A	20030610	BR 2001-9487		20010315
JP 2003528087	T2	20030924	JP 2001-568916		20010315
NO 2002004502	A	20021120	NO 2002-4502		20020920
PRIORITY APPLN. INFO.:			US 2000-191291P	P	20000321
			WO 2001-US5811	W	20010315

OTHER SOURCE(S): MARPAT 135:257250
GRAPHIC IMAGE:



I

ABSTRACT:
The title compds. I [wherein A = Cl, Br, CN, NO2, or F; R1 = R5 or SO2R9; R2, R3, and R4 = independently H, halo, aryl, SOmR6, COR6, CO2R9, CN, heterocyclyl(oxy), OR10, NR7R8, SR10, heterocyclylthio, NHCOR12, NHSO2R12, or (un)substituted alkyl; or R3 together with R2 or R4 form an (un)substituted (hetero)cyclic ring; R5 = (CH2CH2O)nR10 or (un)substituted (cyclo)alkyl; R6 = alkyl, NR7R8, aryl, or heterocyclyl; R7 and R8 = H, aryl, or (un)substituted (cyclo)alkyl; or R7 and R8 together with the N to which they are attached form a heterocyclic ring; R9 = aryl, heterocyclyl, cycloalkyl, Me, or (un)substituted alkyl; R10 = H, Me, or (hydroxy)alkyl; R12 = H, heterocyclyl, aryl, cycloalkyl, Me, or (amino)alkyl; m = 0-2; n = 2-4; or a pharmaceutically acceptable salt thereof] were prepd. as antiviral agents, which are particularly effective against varicella zoster virus (VZV), the Epstein-Barr virus, the herpes simplex virus (HSV), the human herpes virus type 8 (HHV-8), and cytomegalovirus (CMV). For example, tosyl azide was added to Et 3-(2-fluoro-5-iodophenyl)-3-oxopropanoate and the diazo compd. cyclized with PBu3 to give Et 4-hydroxy-6-iodo-3-cinnolinecarboxylate. Amidation with 4-chlorobenzylamine (85%), N-methylation (39%), and alkylation with propargyl alc. in the presence of Pd(PPh3)2Cl2 yielded the 4-oxo-1,4-dihydro-3-cinnolinecarboxamide I (A = Cl, R1 = Me, R2 = C.tplbond.CCH2OH, R3 and R4 = H) (II). The latter inhibited human CMV, HSV, and VZV polymerases with IC50 values of 2.7 .mu.M, 1.7 .mu.M, and 1.1 .mu.M, resp.

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	2000:475644 CAPLUS
DOCUMENT NUMBER:	133:89443
TITLE:	Quinolinecarboxamides as antiviral agents, especially against viruses of the herpes family
INVENTOR(S):	Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Vaillancourt, Valerie A.; Schnute, Mark E.; Tucker, John Alan

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040561	A1	20000713	WO 1999-US27960	19991222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248739	B1	20010619	US 1999-466712	19991217
EP 1140850	A1	20011010	EP 1999-967145	19991222
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JP 2002534416	T2	20021015	JP 2000-592270	19991222
AU 760207	B2	20030508	AU 2000-23486	19991222
NZ 512824	A	20030926	NZ 1999-512824	19991222
ZA 2001004711	A	20020610	ZA 2001-4711	20010608
NO 2001003383	A	20010907	NO 2001-3383	20010706

PRIORITY APPLN. INFO.:
US 1999-115301P P 19990108
US 1999-140610P P 19990623
WO 1999-US27960 W 19991222

OTHER SOURCE(S): MARPAT 133:89443
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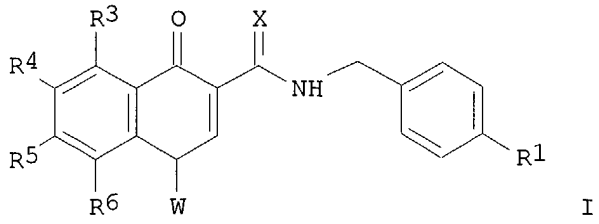

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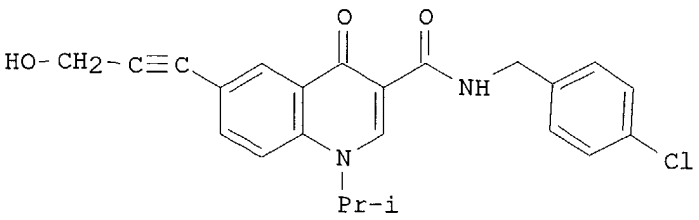


II

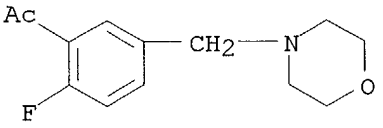
ABSTRACT:
The invention provides quinolinecarboxamides I (X = O, S; W = R2, etc., where R1-R6 = a wide variety of defined groups, with 125 examples), e.g.,

hydroxypropynyl deriv. II, and their pharmaceutically acceptable salts which are useful as antiviral agents, in particular, as agents against viruses of the herpes family. Activities of the compds. against HCMV, HSV, and VZV polymerase are presented. Pharmaceutical compns. comprising compds. I are claimed (no examples).

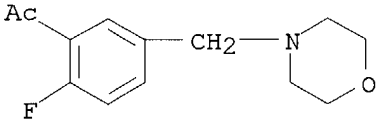
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l5 1-4 hitstr

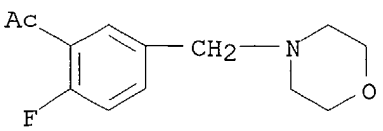
L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
IT **281652-26-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antiviral activity of quinolinecarboxamides)
RN 281652-26-4 CAPLUS
CN Ethanone, 1-[2-fluoro-5-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
IT **281652-26-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-hydroxycinnoline-3-carboxamides as antiviral agents)
RN 281652-26-4 CAPLUS
CN Ethanone, 1-[2-fluoro-5-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
IT **281652-26-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of oxodihydrocinnolinecarboxamide antiviral agents by cycloaddn. of phenyloxopropanoates and azides)
RN 281652-26-4 CAPLUS
CN Ethanone, 1-[2-fluoro-5-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

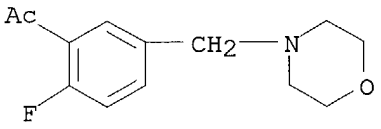
IT **281652-26-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(for prepn. of quinolinecarboxamide derivs.)

RN 281652-26-4 CAPLUS

CN Ethanone, 1-[2-fluoro-5-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)



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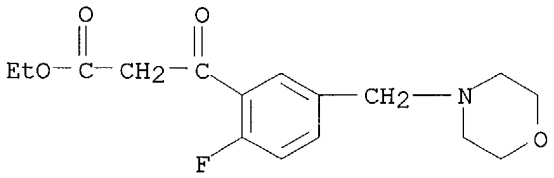
L7 ANSWER 19 OF 19 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281652-27-5 REGISTRY
CN Benzenepropanoic acid, 2-fluoro-5-(4-morpholinylmethyl)-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Ethyl 3-[2-fluoro-5-(4-morpholinylmethyl)phenyl]-3-oxopropanoate
FS 3D CONCORD
MF C16 H20 F N O4
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
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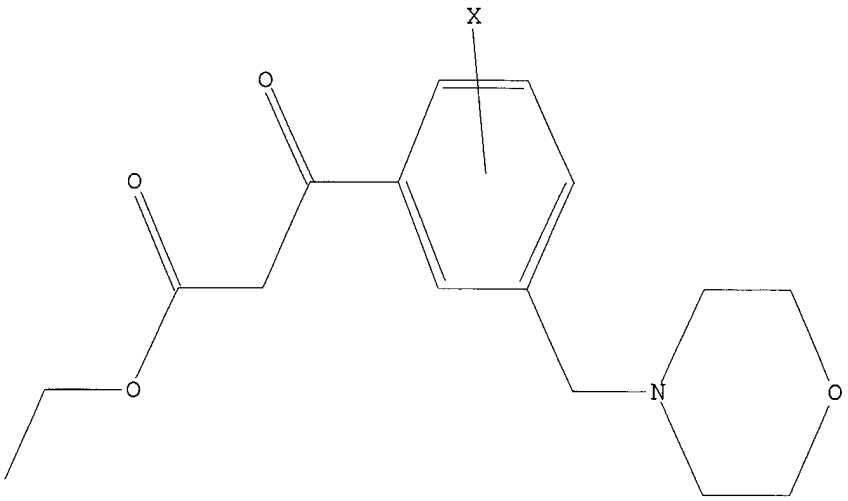

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100.0% PROCESSED 74292 ITERATIONS 19 ANSWERS
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.55	372.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.60

FILE 'CAPLUS' ENTERED AT 23:09:10 ON 10 DEC 2003

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FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24
FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L8 4 L7

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L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935580 CAPLUS
DOCUMENT NUMBER: 136:53690
TITLE: Preparation and antiviral activity of 1-aryl-4-oxo-1,4-dihydro-3-quinolinecarboxamides
INVENTOR(S): Schnute, Mark E.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098275	A2	20011227	WO 2001-US16481	20010605
WO 2001098275	A3	20020704		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002103220	A1	20020801	US 2001-875432	20010605
US 6653307	B2	20031125		
EP 1292575	A2	20030319	EP 2001-945974	20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011729	A	20030729	BR 2001-11729	20010605

PRIORITY APPLN. INFO.: US 2000-212202P P 20000616
US 2001-272136P P 20010228
WO 2001-US16481 W 20010605

OTHER SOURCE(S): MARPAT 136:53690
GI

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:798202 CAPLUS
DOCUMENT NUMBER: 135:331435
TITLE: Preparation of 4-hydroxycinnoline-3-carboxamides as antiviral agents
INVENTOR(S): Vaillancourt, Valerie A.; Larsen, Scott D.; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081318	A1	20011101	WO 2001-US5807	20010315
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002042397	A1	20020411	US 2001-808902	20010315
US 6458788	B2	20021001		
EP 1265872	A1	20021218	EP 2001-916182	20010315
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JP 2003531195	T2	20031021	JP 2001-578412	20010315

PRIORITY APPLN. INFO.: US 2000-190976P P 20000321
WO 2001-US5807 W 20010315
OTHER SOURCE(S): MARPAT 135:331435
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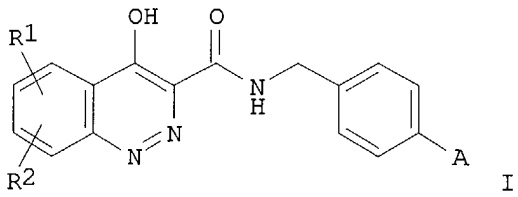


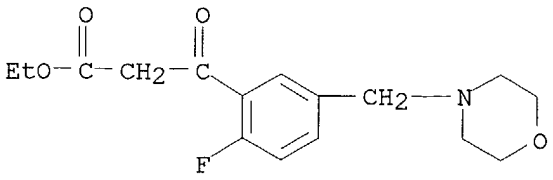
I

AB The title compds. [I; A = Cl, Be, CN, NO2, F; R1 = aryl, CN, heteroaryl, etc.; R2 = H, halo, aryl, etc.], useful for treatment or prevention of herpes viruses, were prepd. E.g., a multi-step synthesis of I [A = Cl; R1 = 6-CH2OH; R2 = H] which showed 51% inhibition of the HCMV polymerase at 20 .mu.M, was given.

IT **281652-27-5P 362048-54-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-hydroxycinnoline-3-carboxamides as antiviral agents)

RN 281652-27-5 CAPLUS
CN Benzenepropanoic acid, 2-fluoro-5-(4-morpholinylmethyl)-.beta.-oxo-, ethyl

ester (9CI) (CA INDEX NAME)



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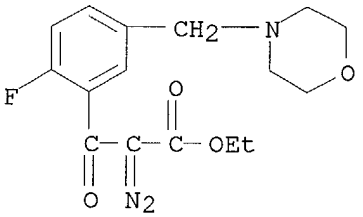
CN Benzenepropanoic acid, .alpha.-diazo-2-fluoro-5-(4-morpholinylmethyl)-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:713324 CAPLUS
DOCUMENT NUMBER: 135:257250
TITLE: Preparation of 4-oxo-1,4-dihydro-3-cinnolinecarboxamides as antiviral agents
INVENTOR(S): Vaillancourt, Valerie A.; Larsen, Scott D.; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia + Upjohn Company, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070706	A2	20010927	WO 2001-US5811	20010315
WO 2001070706	A3	20020510		
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US 6624160	B2	20030923		
EP 1265873	A2	20021218	EP 2001-920138	20010315
EP 1265873	B1	20031015		
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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			WO 2001-US5811	W	20010315

OTHER SOURCE(S): MARPAT 135:257250

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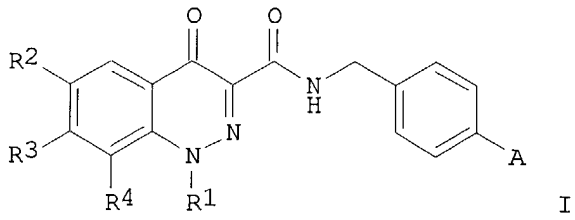


I

AB The title compds. I [wherein A = Cl, Br, CN, NO2, or F; R1 = R5 or SO2R9; R2, R3, and R4 = independently H, halo, aryl, SOmR6, COR6, CO2R9, CN, heterocyclyl(oxy), OR10, NR7R8, SR10, heterocyclylthio, NHCOR12, NHSO2R12, or (un)substituted alkyl; or R3 together with R2 or R4 form an (un)substituted (hetero)cyclic ring; R5 = (CH2CH2O)nR10 or (un)substituted (cyclo)alkyl; R6 = alkyl, NR7R8, aryl, or heterocyclyl; R7 and R8 = H, aryl, or (un)substituted (cyclo)alkyl; or R7 and R8 together with the N to which they are attached form a heterocyclic ring; R9 = aryl, heterocyclyl, cycloalkyl, Me, or (un)substituted alkyl; R10 = H, Me, or (hydroxy)alkyl; R12 = H, heterocyclyl, aryl, cycloalkyl, Me, or (amino)alkyl; m = 0-2; n = 2-4; or a pharmaceutically acceptable salt thereof] were prepd. as antiviral agents, which are particularly effective against varicella zoster virus (VZV), the Epstein-Barr virus, the herpes simplex virus (HSV), the human herpes virus type 8 (HHV-8), and cytomegalovirus (CMV). For example, tosyl azide was added to Et 3-(2-fluoro-5-iodophenyl)-3-oxopropanoate and the diazo compd. cyclized with PBu3 to give Et 4-hydroxy-6-iodo-3-cinnolinecarboxylate. Amidation with 4-chlorobenzylamine (85%), N-methylation (39%), and alkylation with propargyl alc. in the presence of Pd(PPh3)2Cl2 yielded the 4-oxo-1,4-dihydro-3-cinnolinecarboxamide I (A = Cl, R1 = Me, R2 = C.tplbond.CCH2OH, R3 and R4 = H) (II). The latter inhibited human CMV, HSV, and VZV polymerases with IC50 values of 2.7 .mu.M, 1.7 .mu.M, and 1.1 .mu.M, resp.

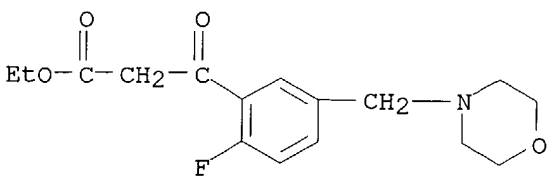
IT **281652-27-5P 362048-54-2P**

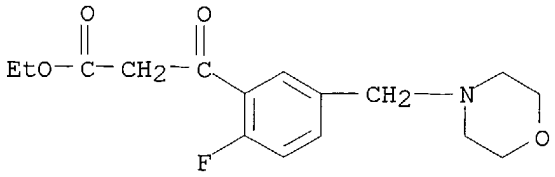
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of oxodihydrocinnolinecarboxamide antiviral agents by cycloaddn. of phenyloxopropanoates and azides)

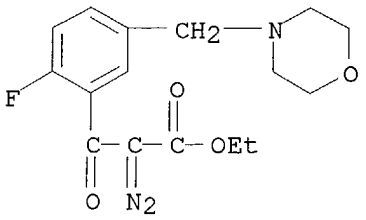
RN 281652-27-5 CAPLUS

CN Benzenepropanoic acid, 2-fluoro-5-(4-morpholinylmethyl)-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)





RN 362048-54-2 CAPLUS
CN Benzenepropanoic acid, .alpha.-diazo-2-fluoro-5-(4-morpholinylmethyl)-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:475644 CAPLUS
DOCUMENT NUMBER: 133:89443
TITLE: Quinolinecarboxamides as antiviral agents, especially against viruses of the herpes family
INVENTOR(S): Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Vaillancourt, Valerie A.; Schnute, Mark E.; Tucker, John Alan
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040561	A1	20000713	WO 1999-US27960	19991222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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US 6248739	B1	20010619	US 1999-466712	19991217
EP 1140850	A1	20011010	EP 1999-967145	19991222
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NZ 512824	A	20030926	NZ 1999-512824	19991222
ZA 2001004711	A	20020610	ZA 2001-4711	20010608
NO 2001003383	A	20010907	NO 2001-3383	20010706

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